

Scheme 1

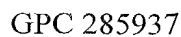


FIG. 1B

Scheme 2

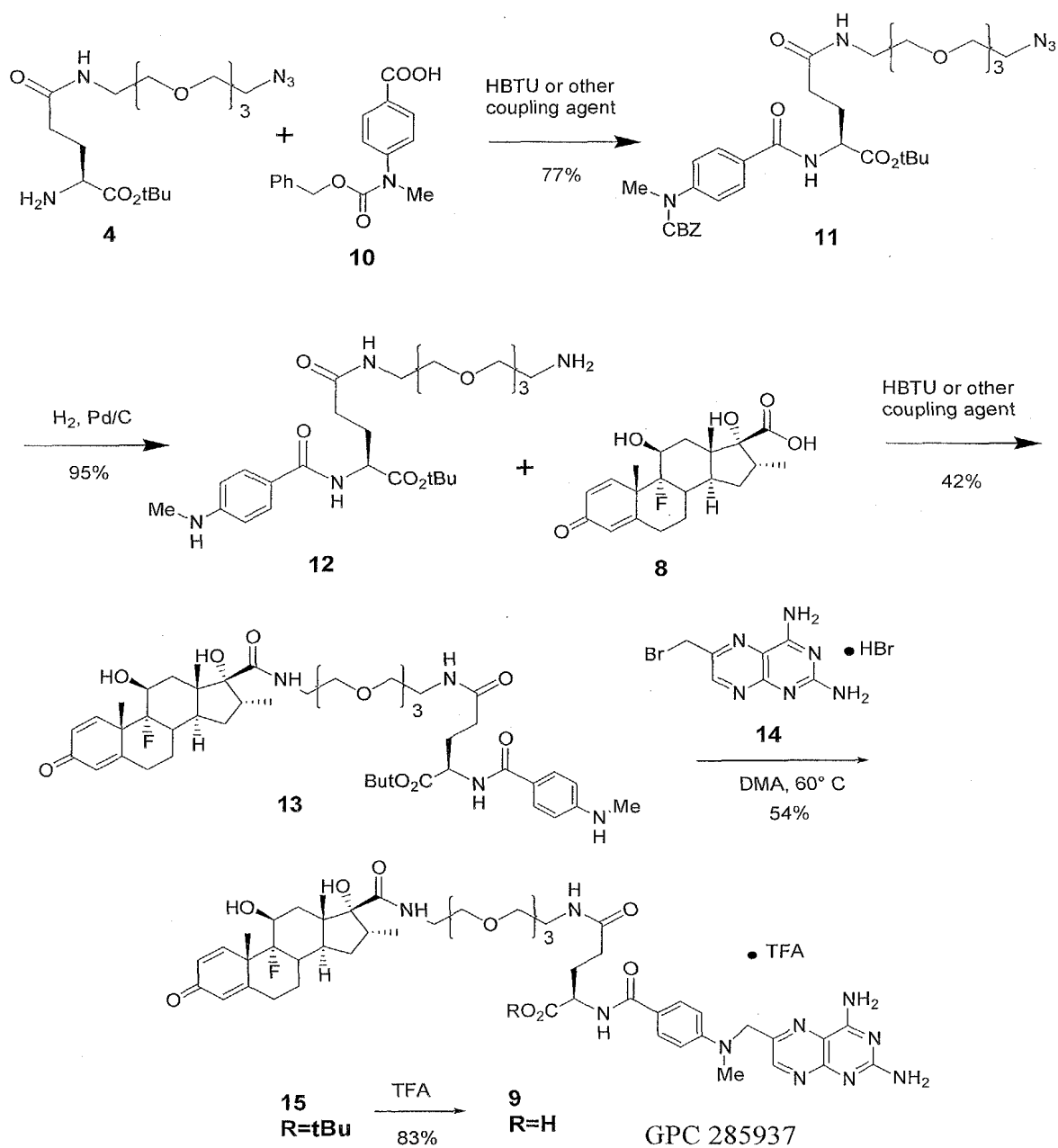


FIG. 1C

Scheme 3

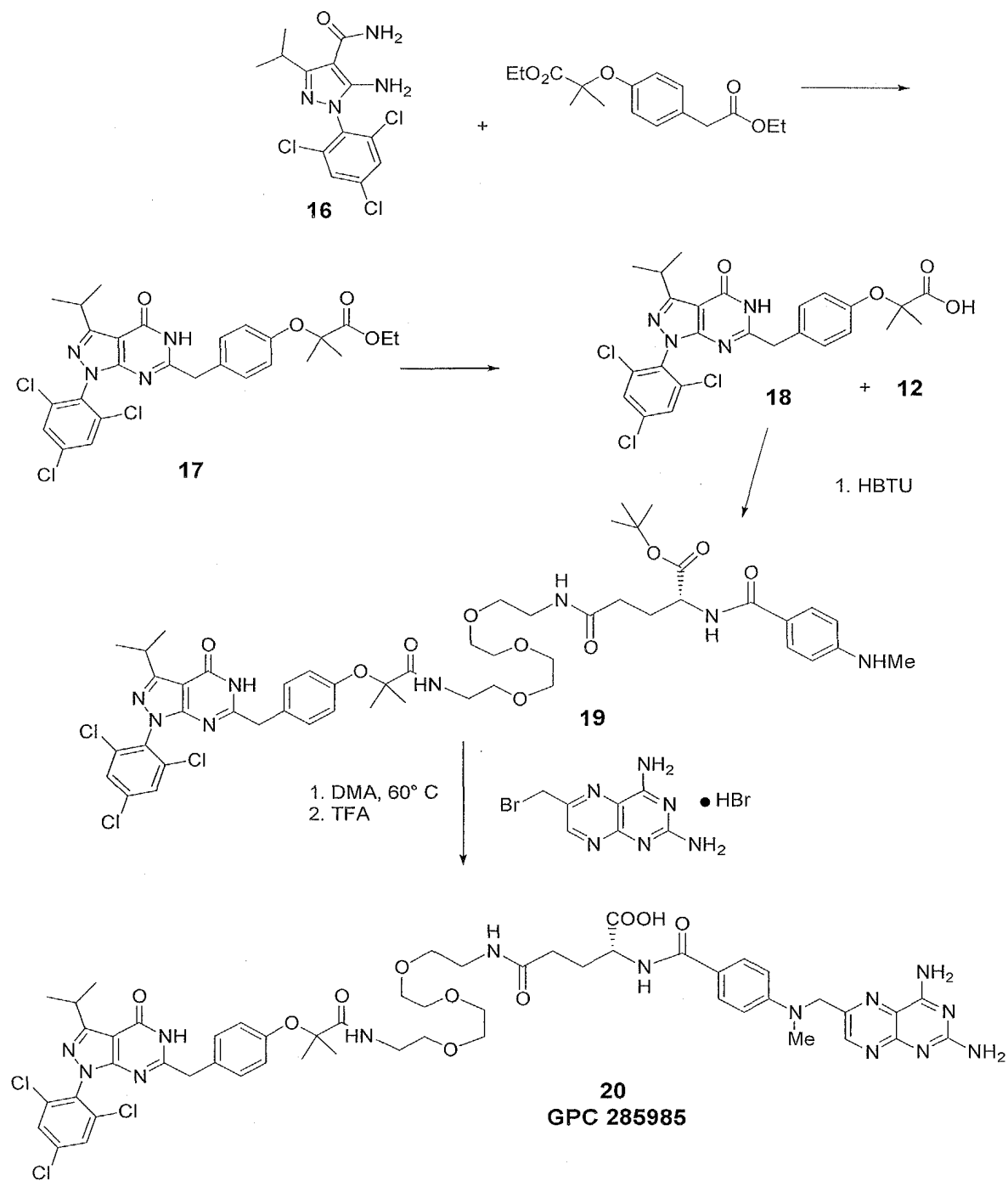


FIG. 1D

Scheme 4

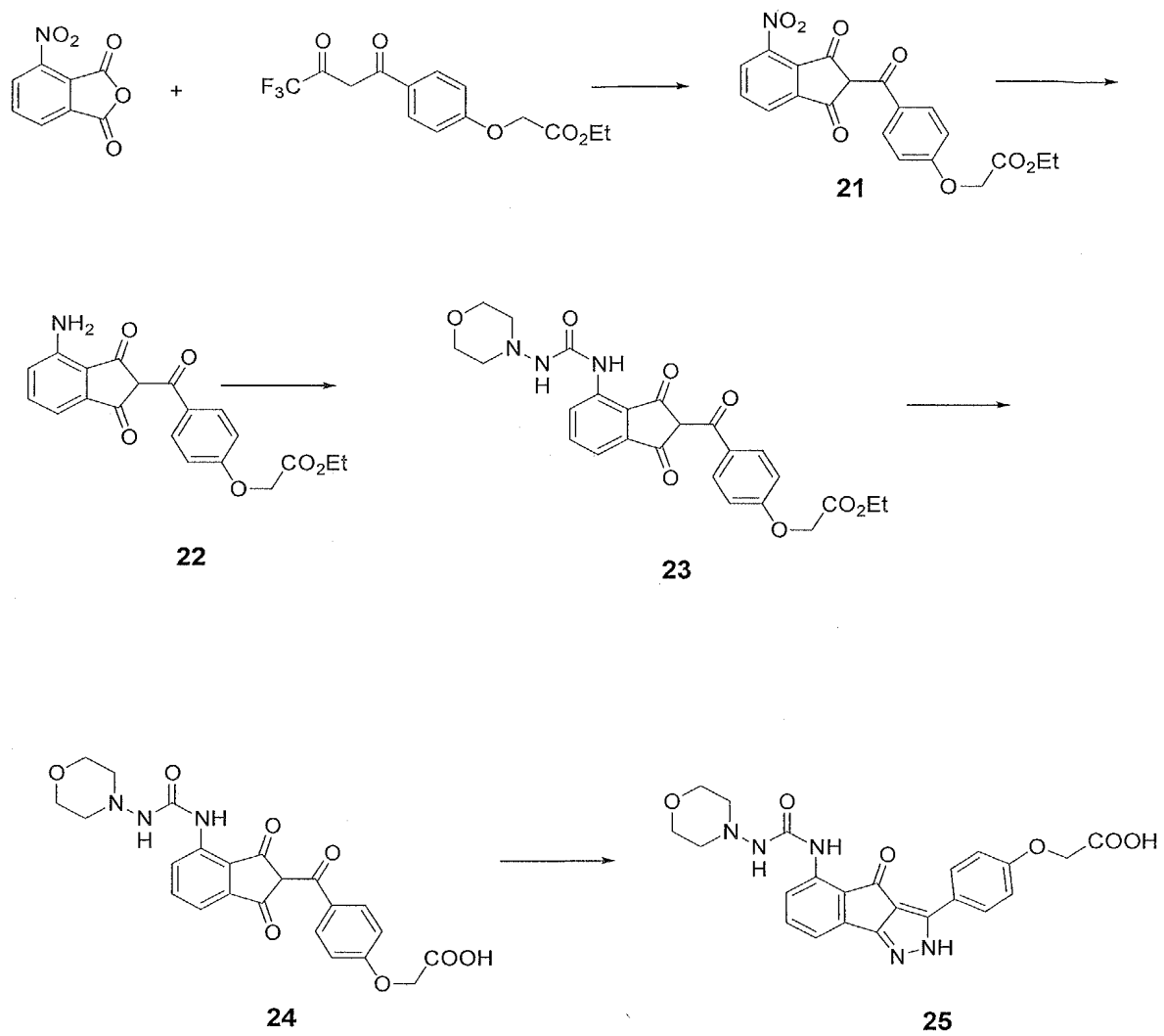


FIG. 1E

Scheme 5

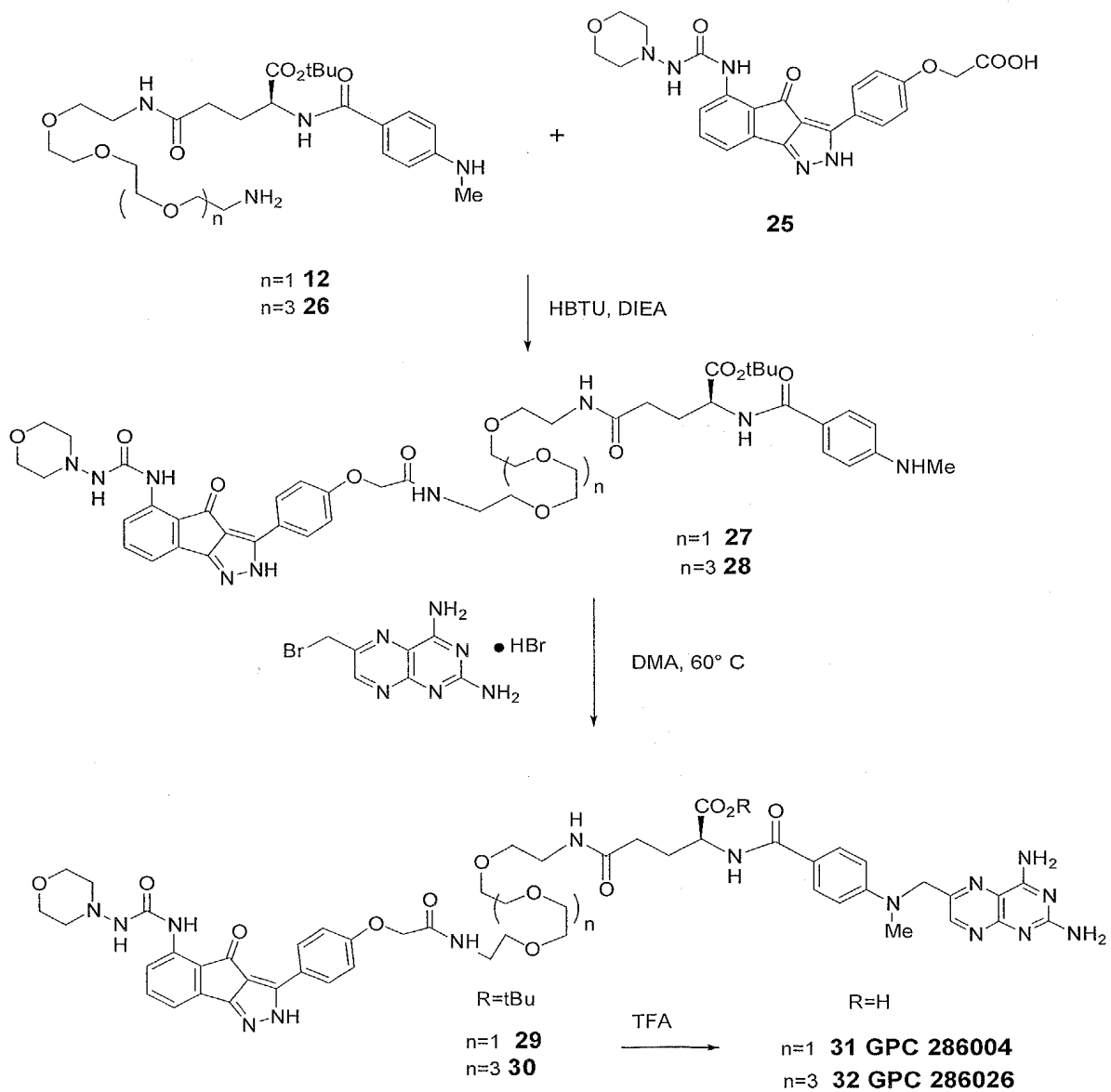
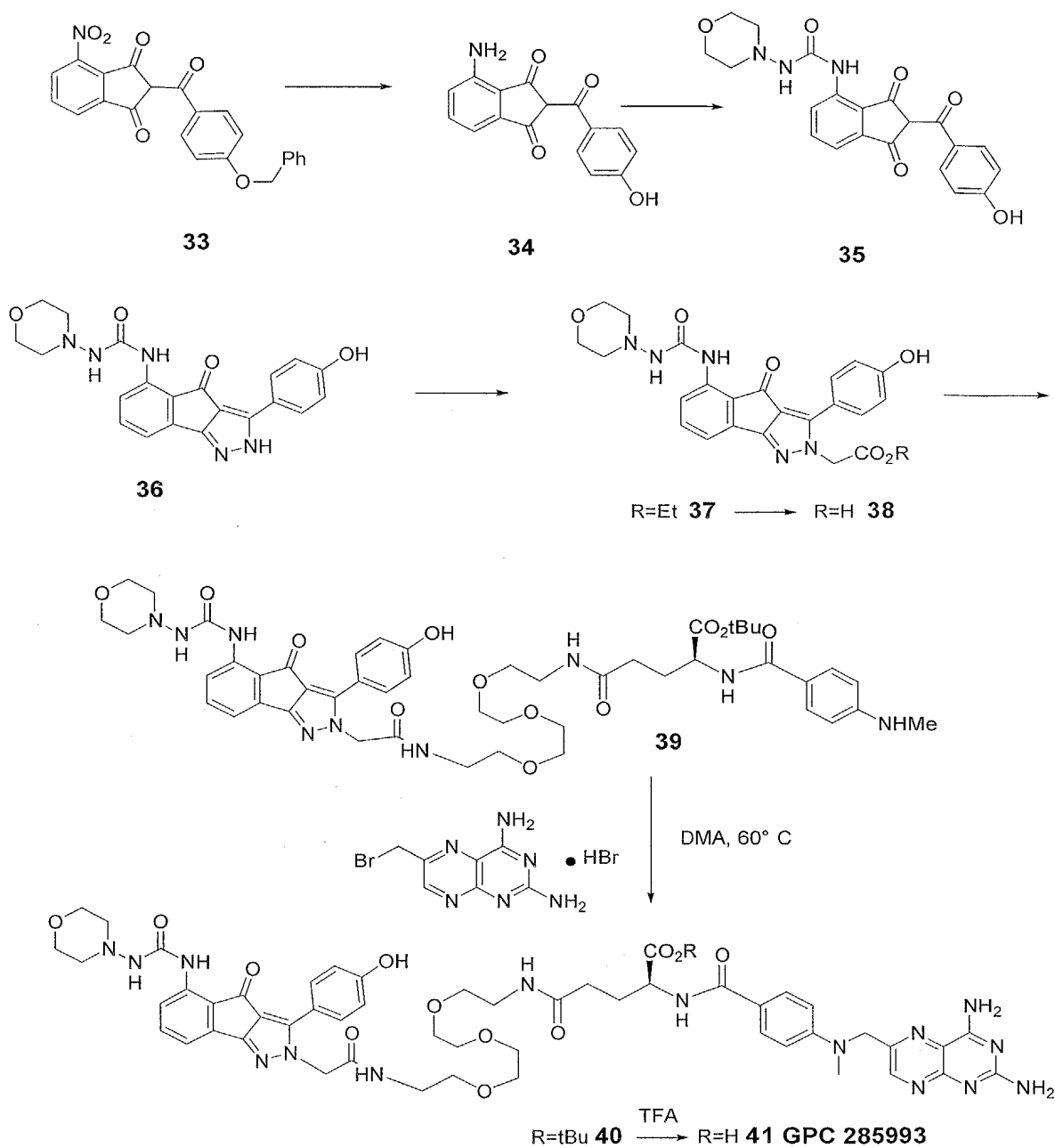


FIG. 1F

Scheme 6



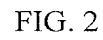
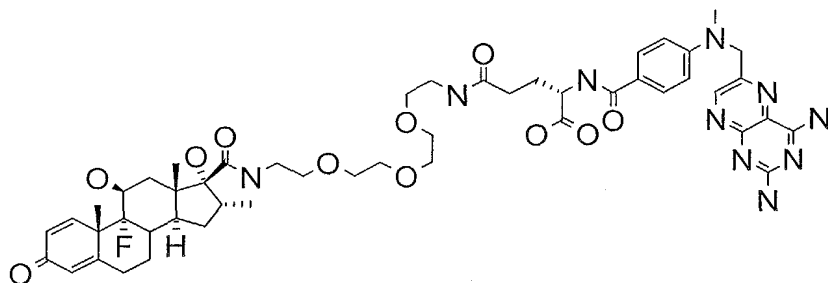


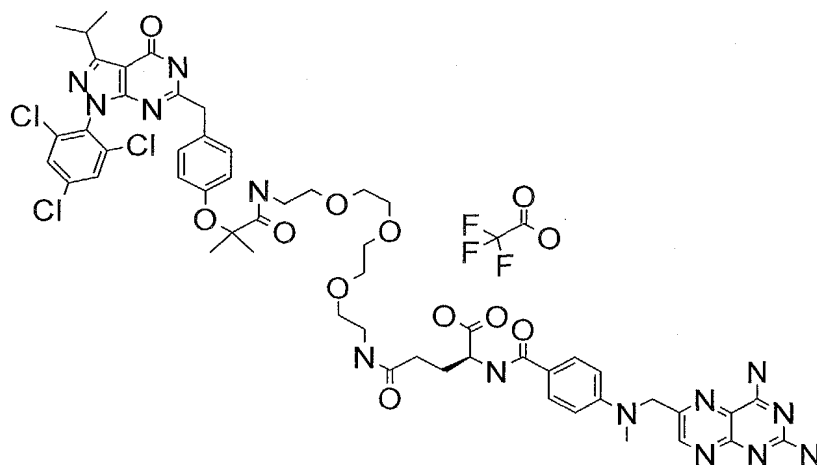
FIG. 3

Structure representations of GPC 285937, GPC 285985 and GPC 285993

a) GPC 285937



b) GPC 285985



c) GPC 285993

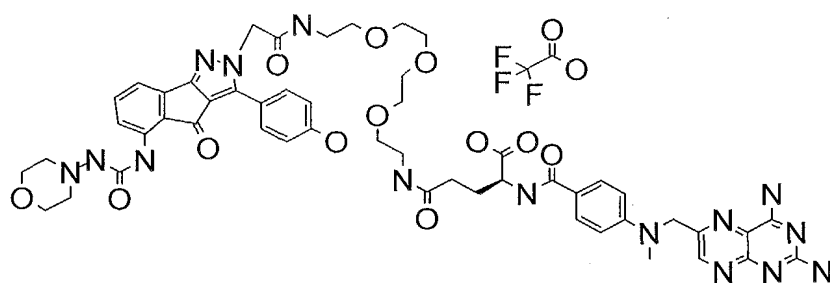
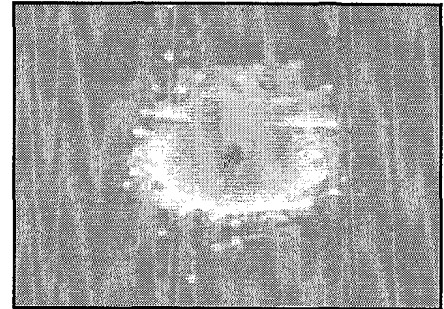
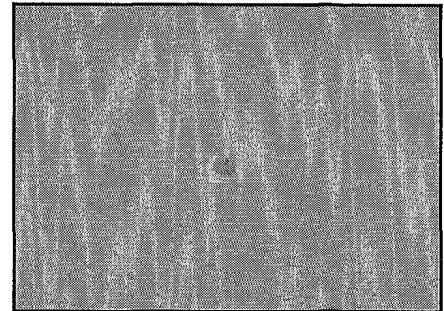


FIG. 4

**a. 1 μ l 1mM GPC
285937 in DMSO**



b. 1 μ l DMSO



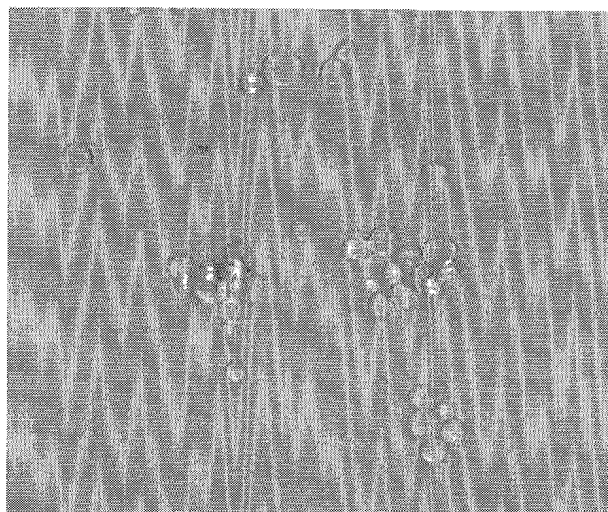
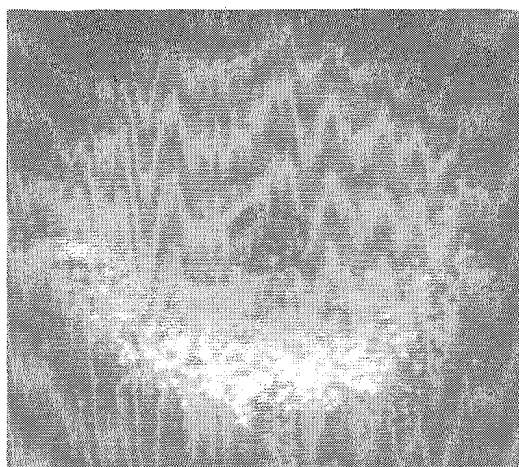


FIG. 6



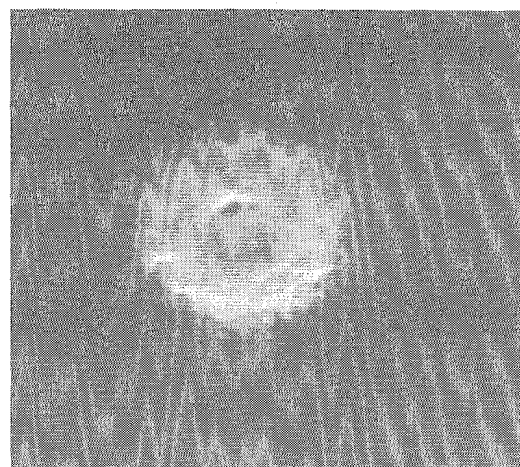
a. GPC 285937



LINKER =

3 polyethylenglycol groups

b. Mtx-mdbt-Dex

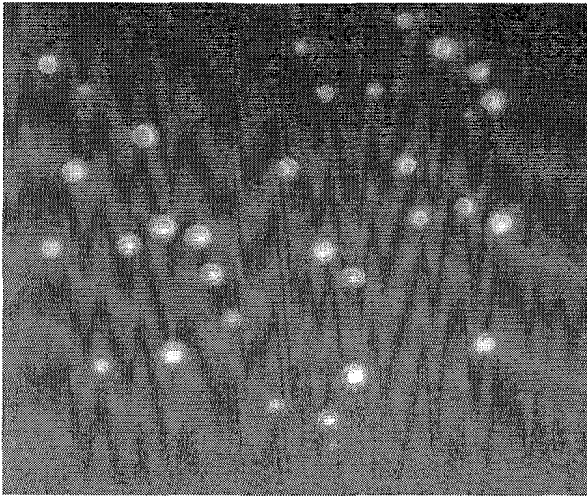


LINKER =

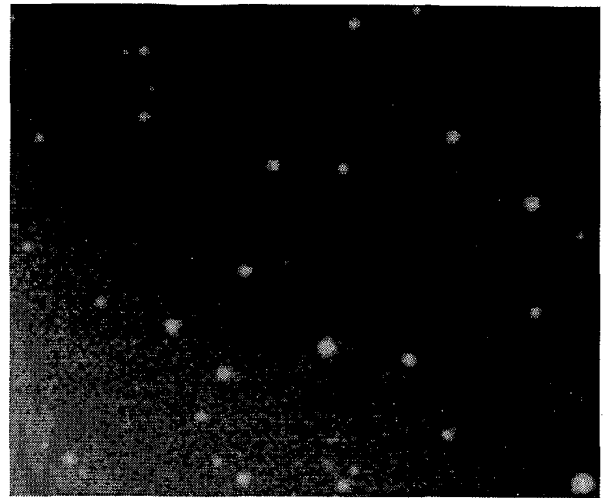
metadibenzothioester

FIG. 7

Difference in yeast colony growth on screening plates



a. GPC 285937



b. Mtx-mdbt-Dex

FIG. 8

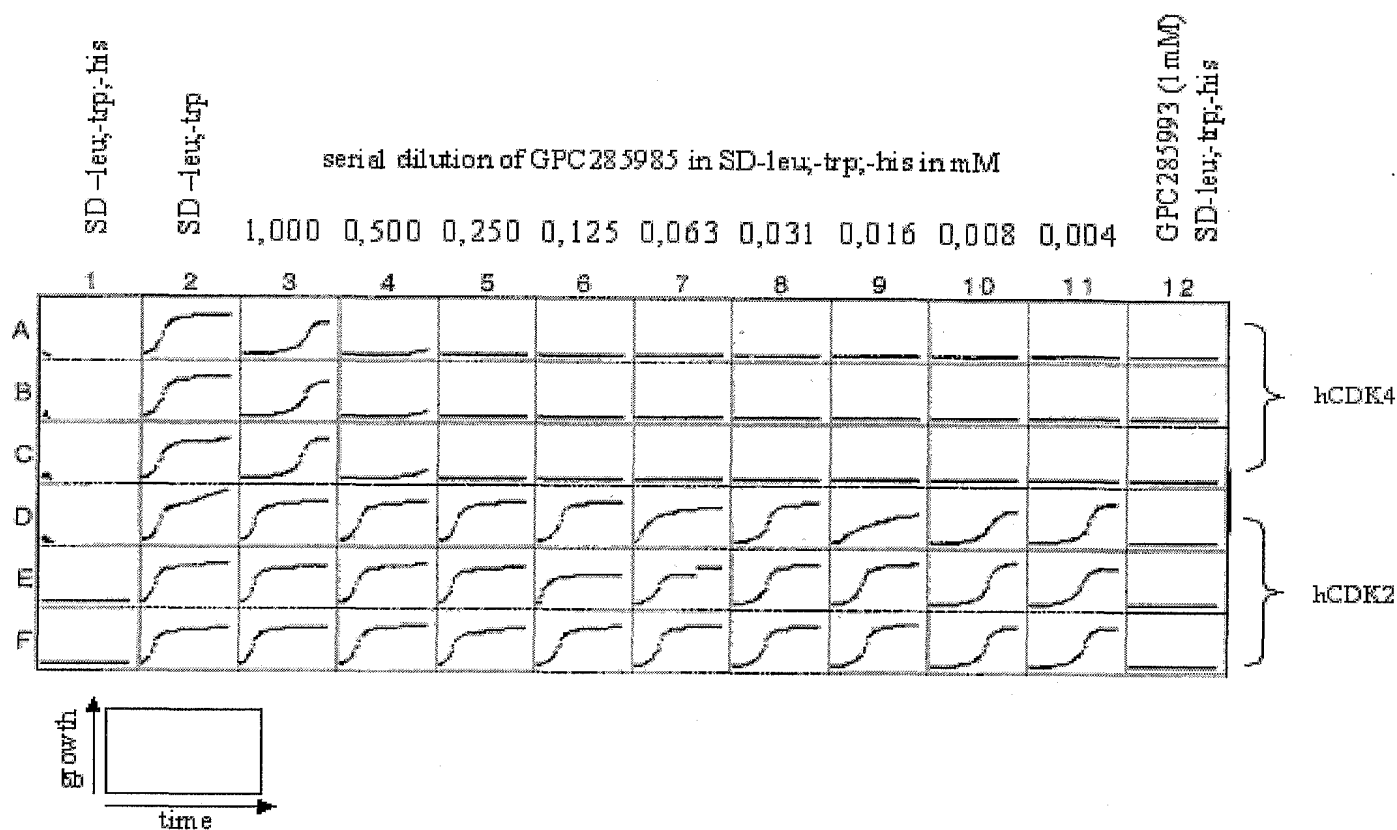


FIG. 9

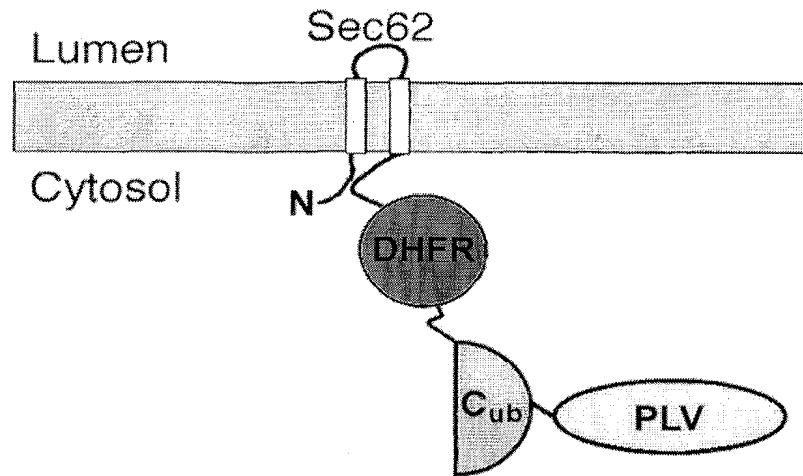
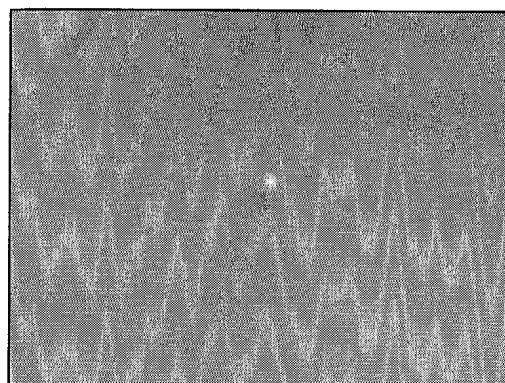
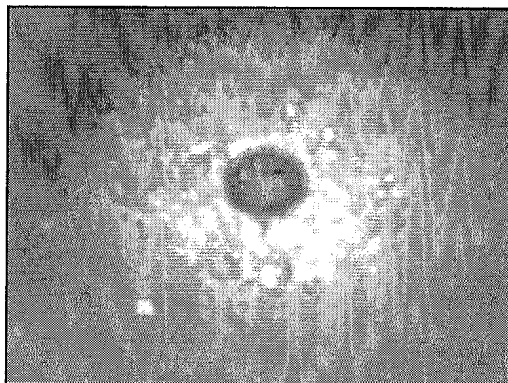


FIG. 10

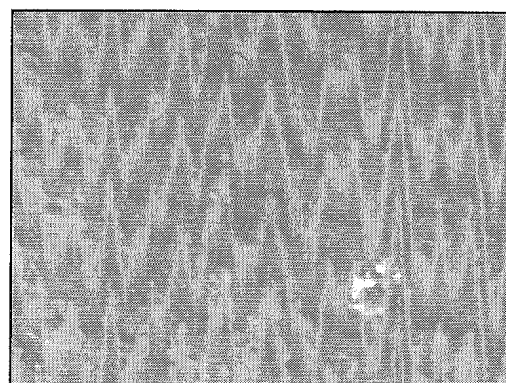
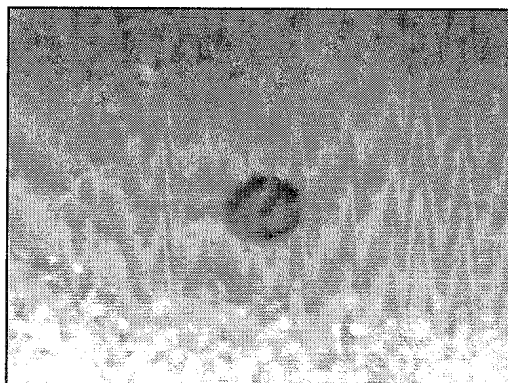
Test of CDK specificity of compound GPC 285985

pBYH-DHFR/pACH-CDK2

pBYH-DHFR/pACH-CDK4



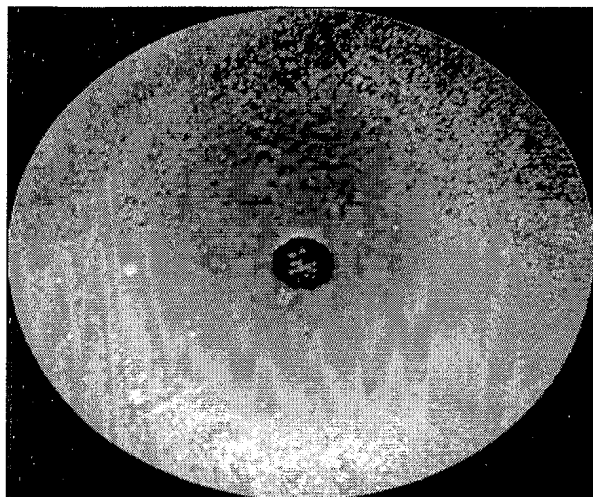
LTH



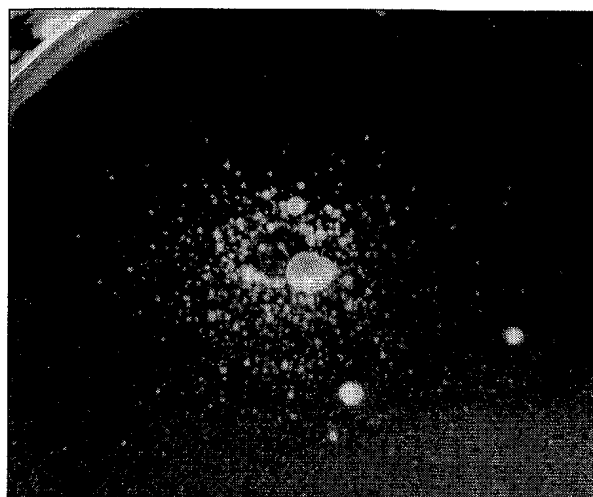
LT

FIG. 11

Compound GPC 285985 is CDK2 specific



CDK2



CDK4

FIG. 12

Retest of clones picked

GeneID-Forw	CloneID	LTH 285985 285993		
GPC7B1	MTP1E12	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input type="checkbox"/>
CDK2	MTP1D6	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input type="checkbox"/>
GPC456	MTP1D9	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
	MTP1E7	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC672	MTP1C24	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC556	MTP1C14	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC173	MTP1F9	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC553	MTP1F7	<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
GPC644	MTP1C23	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>	<input checked="" type="checkbox"/>
	MTP1D16	<input type="checkbox"/>	<input type="checkbox"/>	<input type="checkbox"/>

GPC285993

Gal-DHFR
VP16-GR

FIG. 15

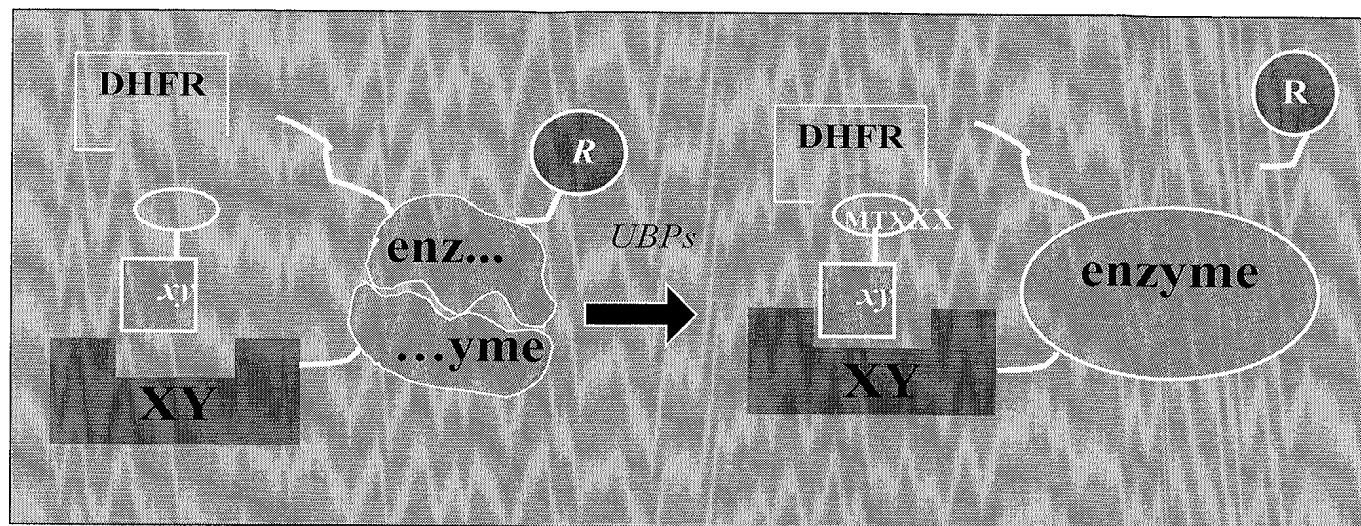
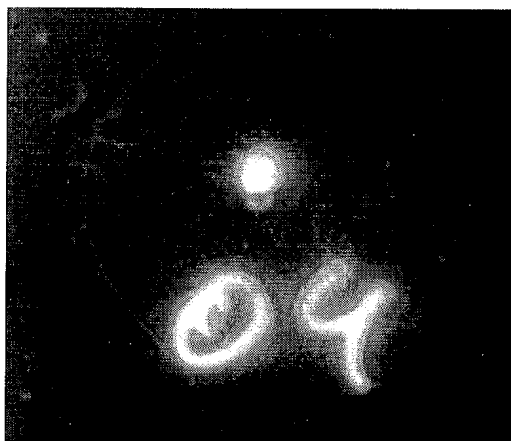


FIG. 16

a. GPC 286004



b. GPC 286026

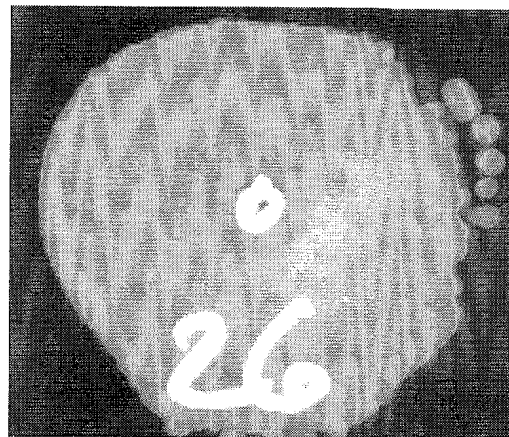
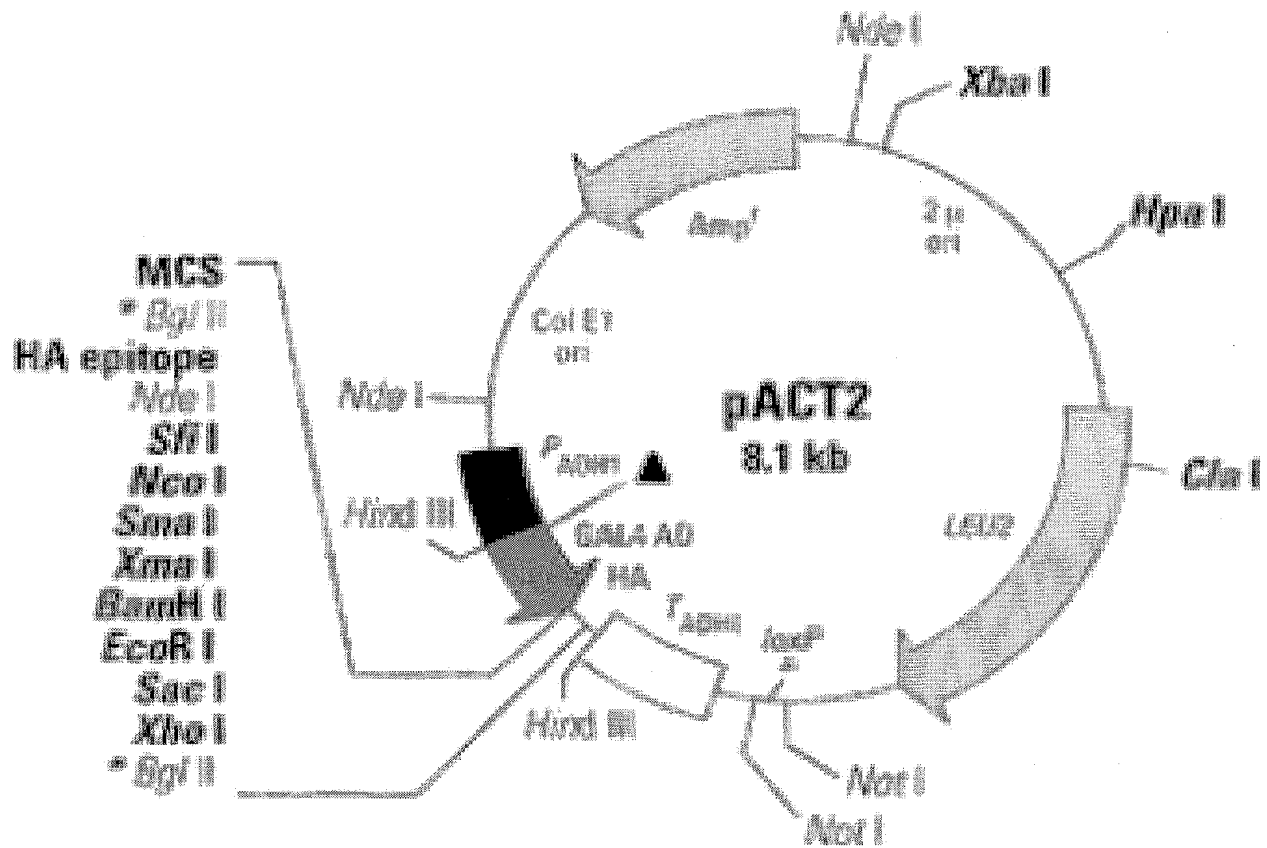


Fig. 17

a.



b.

